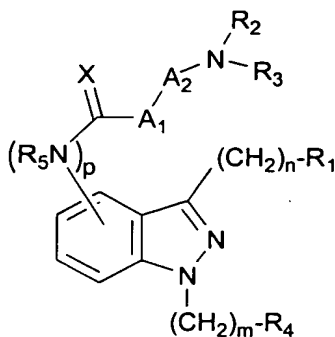


WHAT IS CLAIMED IS:

1. A compound of the following formula (I):



(I)

wherein:

A_1 and A_2 are each independently a D- or L-amino acid selected from the group consisting of alanine, β -alanine, arginine, homoarginine, cyclohexylalanine, citrulline, cysteine (optionally substituted with C_1 - C_4 alkyl, aryl, or arC_1 - C_4 alkyl), 2,4-diaminobutyric acid (optionally substituted with acyl, C_1 - C_4 alkyl, aroyl, amidino, or $MeC(NH)-$), 2,3-diaminopropionic acid (optionally substituted with acyl, C_1 - C_4 alkyl, aroyl, amidino, or $MeC(NH)-$), glutamine, glycine, indanylglycine, lysine (optionally substituted with acyl, C_1 - C_4 alkyl, aroyl, $MeC(NH)-$), valine, methionine, proline, serine (optionally substituted with C_1 - C_4 alkyl, aryl, or arC_1 - C_4 alkyl), homoserine (optionally substituted with C_1 - C_4 alkyl, aryl, or arC_1 - C_4 alkyl), tetrahydroisoquinoline-3-COOH, threonine (optionally substituted with C_1 - C_4 alkyl, aryl, or arC_1 - C_4 alkyl), ornithine (optionally substituted with acyl, C_1 - C_4 alkyl, aroyl, $MeC(NH)-$), and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, heteroarylalanine, naphthylalanine, homophenylalanine, histidine, tryptophan, tyrosine, arylglycine, heteroarylglycine, aryl- β -alanine, and heteroaryl- β -alanine wherein the substituents on the aromatic amino acid are independently selected from one or more of halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, hydroxy, C_1 - C_4 alkoxycarbonyl,

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amino, amidino, guanidino, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylcarbonyl, cyano, aryl, heteroaryl, arC₁-C₄ alkyl, C₂-C₄ alkenyl, alkynyl, or nitro;

5 R₁ is selected from amino, C₁-C₈ alkylamino, C₁-C₈ dialkylamino, arylamino, arC₁-C₈ alkylamino, C₃-C₈ cycloalkylamino, heteroalkylC₁-C₈ alkylamino, heteroalkylC₁-C₈ alkyl-N-methylamino, C₁-C₈ dialkylaminoC₁-C₈ alkylamino, -N(C₁-C₈alkyl)-C₁-C₈ alkyl-N(C₁-C₈alkyl)₂, N(C₁-C₈alkyl)(C₁-C₈alkenyl), -N(C₁-C₈alkyl)(C₃-C₈cycloalkyl), heteroalkyl or
10 substituted heteroalkyl wherein the substituent on the heteroalkyl is selected from oxo, amino, C₁-C₈ alkoxyC₁-C₈ alkyl, C₁-C₈ alkylamino or C₁-C₈ dialkylamino;

R₂ and R₃ are each independently selected from hydrogen, C₁-C₈ alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkylC₁-C₈ alkyl, aryl, heteroalkyl, substituted
15 heteroalkyl (wherein the substituent on the heteroalkyl is one or more substituents independently selected from C₁-C₈ alkoxycarbonyl, C₁-C₈ alkyl, or C₁-C₄ alkylcarbonyl), heteroalkylC₁-C₈ alkyl, indanyl, acetamidinoC₁-C₈ alkyl, aminoC₁-C₈ alkyl, C₁-C₈ alkylaminoC₁-C₈ alkyl, C₁-C₈ dialkylaminoC₁-C₈ alkyl, unsubstituted or substituted heteroarylC₁-C₈ alkyl or unsubstituted or
20 substituted arC₁-C₈ alkyl, wherein the substituent on the aralkyl or heteroarylalkyl group is one or more substituents independently selected from halogen, nitro, amino, C₁-C₈ alkyl, C₁-C₈ alkoxy, hydroxy, cyano, C₁-C₄ alkylcarbonyl, C₁-C₈ alkoxycarbonyl, hydroxyC₁-C₈ alkyl or aminosulfonyl; or

25 R₂ and R₃, together with the nitrogen to which they are attached, alternatively form an unsubstituted or substituted heteroalkyl group selected from piperidinyl, piperazinyl, morpholinyl or pyrrolidinyl, wherein the substituent is one or more substituents independently selected from C₁-C₈ alkyl C₁-C₈
30 alkoxycarbonyl or C₁-C₄ alkylcarbonyl;

R₄ is selected from unsubstituted or substituted aryl, arC₁-C₈ alkyl, C₃-C₈ cycloalkyl, or heteroaryl, where the substituents on the aryl, arC₁-C₈ alkyl, cycloalkyl or heteroaryl group are independently selected from one or more of
35 halogen, nitro, amino, cyano, hydroxyalkyl, C₁-C₈ alkyl, C₁-C₈ alkoxy, hydroxy,

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C₁-C₄ alkylcarbonyl, C₁-C₈ alkoxy carbonyl, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy, C₁-C₄ alkylsulfonyl;

R₅ is selected from hydrogen or C₁-C₈ alkyl;

X is oxygen or sulfur;

m is an integer selected from 0, 1, 2 or 3;

n is an integer selected from 1 or 2; and

p is an integer selected from 0 or 1;

and pharmaceutically acceptable salts thereof.

2. The compound of Claim 1, wherein:

A₁ and A₂ are each independently an L-amino acid selected from the group consisting of alanine, β-alanine, arginine, homoarginine, cyclohexylalanine, citrulline, cysteine (optionally substituted with C₁-C₄ alkyl, aryl, or arC₁-C₄ alkyl), 2,4-diaminobutyric acid (optionally substituted with acyl, C₁-C₄ alkyl, aroyl, amidino, or MeC(NH)-), 2,3-diaminopropionic acid (optionally substituted with acyl, C₁-C₄ alkyl, aroyl, amidino, or MeC(NH)-), glutamine, glycine, indanylglycine, lysine (optionally substituted with acyl, C₁-C₄ alkyl, aroyl, MeC(NH)-), valine, methionine, proline, serine (optionally substituted with C₁-C₄ alkyl, aryl, or arC₁-C₄ alkyl), homoserine (optionally substituted with C₁-C₄ alkyl, aryl, or arC₁-C₄ alkyl), tetrahydroisoquinoline-3-COOH, threonine (optionally substituted with C₁-C₄ alkyl, aryl, or arC₁-C₄ alkyl), ornithine (optionally substituted with acyl, C₁-C₄ alkyl, aroyl, MeC(NH)-), and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, heteroarylalanine, naphthylalanine, homophenylalanine, histidine, tryptophan, tyrosine, arylglycine, heteroarylglycine, aryl-β-alanine, and heteroaryl-β-alanine wherein the substituents on the aromatic amino acid are independently selected from one or more of halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, C₁-C₄ alkoxy carbonyl, amino, amidino, guanidino, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy, C₁-

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C₄ alkylsulfonyl, C₁-C₄ alkylcarbonyl, cyano, aryl, heteroaryl, arC₁-C₄ alkyl, C₂-C₄ alkenyl, alkynyl, or nitro;

5 R₁ is selected from amino, C₁-C₆ alkylamino, C₁-C₆ dialkylamino, arylamino, arC₁-C₆ alkylamino, heteroalkylC₁-C₆ alkylamino, -N(C₁-C₆alkyl)-C₁-C₆ alkyl-N(C₁-C₆alkyl)₂, heteroalkyl or substituted heteroalkyl wherein the substituent on the heteroalkyl is selected from oxo, amino, C₁-C₆alkoxyC₁-C₆ alkyl, C₁-C₆ alkylamino or C₁-C₆ dialkylamino;

10 R₂ is selected from hydrogen or C₁-C₆ alkyl;

15 R₃ is selected from C₁-C₈ alkyl, C₃-C₆ cycloalkyl, C₃-C₆cycloalkylC₁-C₆alkyl, aryl, heteroarylC₁-C₆ alkyl, substituted heteroarylC₁-C₆alkyl wherein the substituent is C₁-C₄ alkyl, heteroalkyl, heteroalkylC₁-C₆ alkyl, indanyl, acetamidinoC₁-C₆ alkyl, aminoC₁-C₆ alkyl, C₁-C₆alkylaminoC₁-C₆ alkyl, C₁-C₆ dialkylaminoC₁-C₆ alkyl, arC₁-C₈alkyl, substituted arC₁-C₈ alkyl wherein the substituent on the aralkyl group is one to five substituents independently selected from halogen, nitro, amino, C₁-C₆alkyl, C₁-C₆alkoxy, C₁-C₆alkoxycarbonyl, hydroxyalkyl or aminosulfonyl;

20 R₂ and R₃, together with the nitrogen to which they are attached, alternatively form an unsubstituted or substituted heteroalkyl group selected from piperidinyl, piperazinyl or pyrrolidinyl, wherein the substituent is independently one or two substituents selected from C₁-C₆alkyl;

25 R₄ is selected from unsubstituted or substituted aryl, arC₁-C₆ alkyl, C₃-C₆cycloalkyl or heteroaryl, where the substituents on the aryl, aralkyl, cycloalkyl or heteroaryl group are independently selected from one to three substituents selected from halogen, cyano, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₁-C₄alkoxycarbonyl, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy or C₁-C₄alkylsulfonyl;

R₅ is hydrogen;

35 X is oxygen; and

p is 1;

and pharmaceutically acceptable salts thereof.

3. The compound of Claim 2, wherein:

5

A_1 is an L-amino acid selected from the group consisting of alanine, arginine, cyclohexylalanine, glycine, proline, tetrahydroisoquinoline-3-COOH, and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, naphthylalanine, homophenylalanine, and O-methyl tyrosine, wherein the substituents on the aromatic amino acid are independently one to five substituents selected from halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, hydroxy, C_1 - C_4 alkoxycarbonyl, amino, amidino, guanidino, fluorinated C_1 - C_4 alkyl, fluorinated C_1 - C_4 alkoxy, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylcarbonyl, cyano, aryl, heteroaryl, ar C_1 - C_4 alkyl, C_2 - C_4 alkenyl, alkynyl, or nitro;

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15

A_2 is an L-amino acid selected from the group consisting of alanine, β -alanine, arginine, citrulline, cysteine (optionally substituted with C_1 - C_4 alkyl, aryl, or ar C_1 - C_4 alkyl), 2,4-diaminobutyric acid (optionally substituted with acyl, C_1 - C_4 alkyl, aroyl, amidino, or MeC(NH)-), 2,3- diaminopropionic acid (optionally substituted with acyl, C_1 - C_4 alkyl, aroyl, amidino, or MeC(NH)-), glutamine, glycine, lysine (optionally substituted with acyl, C_1 - C_4 alkyl, aroyl, MeC(NH)-), valine, methionine, serine (optionally substituted with C_1 - C_4 alkyl, aryl, or ar C_1 - C_4 alkyl), homoserine (optionally substituted with C_1 - C_4 alkyl, aryl, or ar C_1 - C_4 alkyl), threonine (optionally substituted with C_1 - C_4 alkyl, aryl, or ar C_1 - C_4 alkyl), ornithine (optionally substituted with acyl, C_1 - C_4 alkyl, aroyl, MeC(NH)-), and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, heteroarylalanine, and histidine, wherein the substituents of the aromatic amino acid are independently one to five substituents selected from halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, hydroxy, C_1 - C_4 alkoxycarbonyl, amino, amidino, guanidino, fluorinated C_1 - C_4 alkyl, fluorinated C_1 - C_4 alkoxy, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylcarbonyl, cyano, aryl, heteroaryl, ar C_1 - C_4 alkyl, C_2 - C_4 alkenyl, alkynyl, or nitro;

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R₂ is selected from hydrogen or C₁-C₄ alkyl; and

m and n are both 1;

5

and pharmaceutically acceptable salts thereof.

4. The compound of Claim 3, wherein:

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A₁ is an L-amino acid selected from the group consisting of alanine, arginine, cyclohexylalanine, proline, tetrahydroisoquinoline-3-COOH, and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, naphthylalanine, homophenylalanine, and O-methyl tyrosine, wherein the substituents on the aromatic amino acid are

15

independently one to two substituents selected from halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, C₁-C₄ alkoxycarbonyl, amino, amidino, guanidino, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylcarbonyl, cyano, aryl, heteroaryl, arC₁-C₄ alkyl, C₂-C₄ alkenyl, alkynyl, or nitro;

20

A₂ is an L-amino acid selected from the group consisting of alanine, β-alanine, arginine, citrulline, cysteine (optionally substituted with C₁-C₄ alkyl, aryl, or arC₁-C₄ alkyl), 2,4-diaminobutyric acid (optionally substituted with acyl, C₁-C₄ alkyl, aroyl, amidino, or MeC(NH)-), 2,3-diaminopropionic acid (optionally

25

substituted with acyl, C₁-C₄ alkyl, aroyl, amidino, or MeC(NH)-), glutamine, glycine, lysine (optionally substituted with acyl, C₁-C₄ alkyl, aroyl, MeC(NH)-), valine, methionine, serine (optionally substituted with C₁-C₄ alkyl, aryl, or arC₁-C₄ alkyl), homoserine (optionally substituted with C₁-C₄ alkyl, aryl, or arC₁-C₄ alkyl), threonine (optionally substituted with C₁-C₄ alkyl, aryl, or arC₁-C₄ alkyl),

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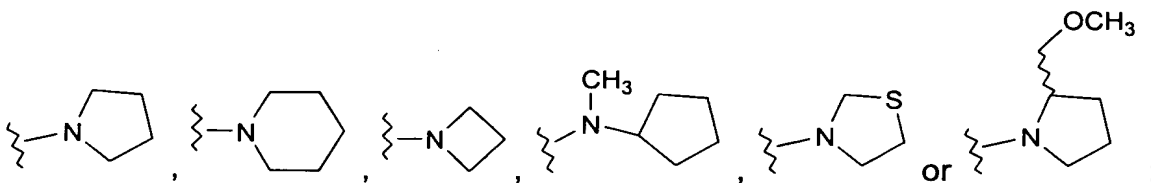
ornithine (optionally substituted with acyl, C₁-C₄ alkyl, aroyl, MeC(NH)-), and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, heteroarylalanine, and histidine, wherein the substituents on the aromatic amino acid are independently one to two

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substituents selected from halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, C₁-C₄ alkoxy carbonyl, amino, amidino, guanidino, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylcarbonyl, cyano, aryl, heteroaryl, arC₁-C₄ alkyl, C₂-C₄ alkenyl, alkynyl, or nitro;

5

R₁ is selected from diethylamino, di-(*n*-propyl)amino,



10

R₂ is selected from hydrogen, methyl or ethyl;

15

R₃ is selected from 2-indanyl, phenyl, cyclohexylmethyl, cyclopentyl, pyridylmethyl, furanylmethyl, 2-(4-methyl-furanyl)methyl, thienylmethyl, diphenylmethyl, 4-imidazolylethyl, 2-(4-N-methyl)imidazolylethyl, *n*-octyl, phenyl-*n*-propyl, aminoethyl, aminopropyl, amino-*n*-pentyl, dimethylaminoethyl, 4-aminophenylsulfonylaminomethyl, acetamidineylethyl, 2-N-pyrrolidinylethyl, N-ethoxycarbonylpiperidinyl, unsubstituted or substituted phenylethyl and unsubstituted or substituted benzyl wherein the substituents on the phenylethyl or benzyl are independently one or two substituents selected from methyl, fluorine, chlorine, nitro, methoxy, methoxycarbonyl or hydroxymethyl; or

20

R₂ and R₃, together with the nitrogen to which they are attached, alternatively form a heteroalkyl group selected from piperidinyl, or 4-(N-methyl)piperazinyl; and

25

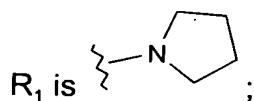
R₄ is selected from cyclohexyl, 2-naphthyl, phenylethyl, 4-fluorophenylethyl or unsubstituted or substituted phenyl, where the substituents on the phenyl are independently selected from one to two substituents selected from fluorine, chlorine, iodine, methyl, cyano or trifluoromethyl;

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and pharmaceutically acceptable salts thereof.

5. The compound of Claim 4, wherein:

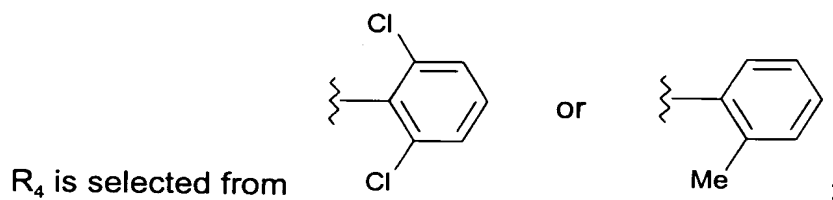
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and pharmaceutically acceptable salts thereof.

5

6. The compound of Claim 5, wherein:



and pharmaceutically acceptable salts thereof.

7. The compound of Claim 6, wherein:

A₁ is selected from 3,4-Difluorophenylalanine or 4-Chlorophenylalanine;

A₂ is selected from 2,4-Diaminobutyric acid or 4-Pyridylalanine;

R₂ is hydrogen; and

R₃ is selected from benzyl or 2-aminoethyl;

and pharmaceutically acceptable salts thereof.

8. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound of Claim 1.

9. A pharmaceutical composition made by mixing a compound of Claim 1 and a pharmaceutically acceptable carrier.

10. A process for making a pharmaceutical composition comprising mixing a compound of Claim 1 and a pharmaceutically acceptable carrier.

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11. A method of treating a condition selected from the group consisting of thrombosis, restenosis, hypertension, heart failure, arrhythmia, myocardial infarction, glomerulonephritis, reocclusion following thrombolytic therapy, reocclusion following angioplasty, inflammation, angina, stroke, atherosclerosis, ischemic conditions, a vaso-occlusive disorder, neurodegenerative disorders, Angiogenesis related disorders and cancer in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the compound of Claim 1.
12. The method of Claim 11, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.
13. A method of treating a condition selected from the group consisting of thrombosis, restenosis, hypertension, heart failure, arrhythmia, myocardial infarction, glomerulonephritis, reocclusion following thrombolytic therapy, reocclusion following angioplasty, inflammation, angina, stroke, atherosclerosis, ischemic conditions, a vaso-occlusive disorder, neurodegenerative disorders, Angiogenesis related disorders and cancer in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the composition of Claim 8.
14. The method of Claim 13, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.
15. A method of inhibiting platelet aggregation in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the compound of Claim 1.
16. The method of Claim 15, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.

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17. A method of inhibiting platelet aggregation in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the composition of Claim 8.

18. The method of Claim 17, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.

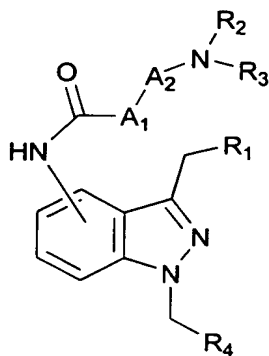
19. A method of treating a condition mediated by thrombin receptor (PAR-1) in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the compound of Claim 1.

20. The method of Claim 19, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.

21. A method of treating a condition mediated by thrombin receptor (PAR-1) in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the composition of Claim 8.

22. The method of Claim 21, wherein the therapeutically effective amount of the compound is from about 0.1 mg/kg/day to about 300 mg/kg/day.

23. A process for preparing a compound of the formula (II):



(II)

wherein:

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A₁ and A₂ are each independently a D- or L-amino acid selected from the group consisting of alanine, β-alanine, arginine, homoarginine, cyclohexylalanine, citrulline, cysteine (optionally substituted with C₁-C₄ alkyl, aryl, or arC₁-C₄ alkyl), 2,4-diaminobutyric acid (optionally substituted with acyl, C₁-C₄ alkyl, aroyl, amidino, or MeC(NH)-), 2,3-diaminopropionic acid (optionally substituted with acyl, C₁-C₄ alkyl, aroyl, amidino, or MeC(NH)-), glutamine, glycine, indanylglycine, lysine (optionally substituted with acyl, C₁-C₄ alkyl, aroyl, MeC(NH)-), valine, methionine, proline, serine (optionally substituted with C₁-C₄ alkyl, aryl, or arC₁-C₄ alkyl), homoserine (optionally substituted with C₁-C₄ alkyl, aryl, or arC₁-C₄ alkyl), tetrahydroisoquinoline-3-COOH, threonine (optionally substituted with C₁-C₄ alkyl, aryl, or arC₁-C₄ alkyl), ornithine (optionally substituted with acyl, C₁-C₄ alkyl, aroyl, MeC(NH)-), and an unsubstituted or substituted aromatic amino acid selected from the group consisting of phenylalanine, heteroarylalanine, naphthylalanine, homophenylalanine, histidine, tryptophan, tyrosine, arylglycine, heteroarylglycine, aryl-β-alanine, and heteroaryl-β-alanine wherein the substituents on the aromatic amino acid are independently selected from one or more of halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, hydroxy, C₁-C₄ alkoxycarbonyl, amino, amidino, guanidino, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylcarbonyl, cyano, aryl, heteroaryl, arC₁-C₄ alkyl, C₂-C₄ alkenyl, alkynyl, or nitro;

R₁ is selected from amino, C₁-C₈ alkylamino, C₁-C₈ dialkylamino, arylamino, arC₁-C₈ alkylamino, C₃-C₈ cycloalkylamino, heteroalkylC₁-C₈ alkylamino, heteroalkylC₁-C₈ alkyl-N-methylamino, C₁-C₈ dialkylaminoC₁-C₈ alkylamino, -N(C₁-C₈alkyl)-C₁-C₈ alkyl-N(C₁-C₈alkyl)₂, N(C₁-C₈alkyl)(C₁-C₈alkenyl), -N(C₁-C₈alkyl)(C₃-C₈cycloalkyl), heteroalkyl or substituted heteroalkyl wherein the substituent on the heteroalkyl is selected from oxo, amino, C₁-C₈ alkoxyC₁-C₈ alkyl, C₁-C₈ alkylamino or C₁-C₈ dialkylamino;

R₂ and R₃ are each independently selected from hydrogen, C₁-C₈ alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkylC₁-C₈ alkyl, aryl, heteroalkyl, substituted

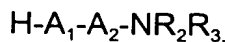
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heteroalkyl (wherein the substituent on the heteroalkyl is one or more substituents independently selected from C₁-C₈ alkoxycarbonyl, C₁-C₈ alkyl, or C₁-C₄ alkylcarbonyl), heteroalkylC₁-C₈ alkyl, indanyl, acetamidinoC₁-C₈ alkyl, aminoC₁-C₈ alkyl, C₁-C₈ alkylaminoC₁-C₈ alkyl, C₁-C₈ dialkylaminoC₁-C₈ alkyl, unsubstituted or substituted heteroarylC₁-C₈ alkyl or unsubstituted or substituted arC₁-C₈ alkyl, wherein the substituent on the aralkyl or heteroarylalkyl group is one or more substituents independently selected from halogen, nitro, amino, C₁-C₈ alkyl, C₁-C₈ alkoxy, hydroxy, cyano, C₁-C₄ alkylcarbonyl, C₁-C₈ alkoxycarbonyl, hydroxyC₁-C₈ alkyl or aminosulfonyl; or

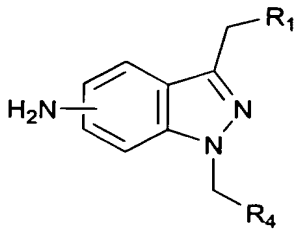
R₂ and R₃, together with the nitrogen to which they are attached, alternatively form an unsubstituted or substituted heteroalkyl group selected from piperidiny, piperaziny, morpholinyl or pyrrolidinyl, wherein the substituent is one or more substituents independently selected from C₁-C₈ alkyl C₁-C₈ alkoxycarbonyl or C₁-C₄ alkylcarbonyl;

R₄ is selected from unsubstituted or substituted aryl, arC₁-C₈ alkyl, C₃-C₈ cycloalkyl, or heteroaryl, where the substituents on the aryl, arC₁-C₈ alkyl, cycloalkyl or heteroaryl group are independently selected from one or more of halogen, nitro, amino, cyano, hydroxyalkyl, C₁-C₈ alkyl, C₁-C₈ alkoxy, hydroxy, C₁-C₄ alkylcarbonyl, C₁-C₈ alkoxycarbonyl, fluorinated C₁-C₄ alkyl, fluorinated C₁-C₄ alkoxy, C₁-C₄ alkylsulfonyl;

comprising reacting a compound of the formula **AAG6**:



with a compound of the formula **AAG4**:



in the presence of a phosgene equivalent to form the compound of formula (II).